

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
24 July 2003 (24.07.2003)

PCT

(10) International Publication Number
WO 03/059899 A1

(51) International Patent Classification: **C07D 307/87**,
265/02, C07C 15/18, 13/28, A61K 31/34, 31/435, 31/13,
31/16, A61P 9/00, 25/28, 3/04, 3/10

(74) Agents: **RAYMOND, Robert, P. et al.**; Boehringer Ingel-
heim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box
368, Ridgefield, CT 06877-0368 (US).

(21) International Application Number: **PCT/US03/00300**

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC,
VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 3 January 2003 (03.01.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/348,486 14 January 2002 (14.01.2002) US
60/379,078 9 May 2002 (09.05.2002) US

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI,
SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant: **BOEHRINGER INGELHEIM PHARMA-
CEUTICALS, INC.** [US/US]; 900 Ridgebury Road, P.O.
Box 368, Ridgefield, CT 06877-0368 (US).

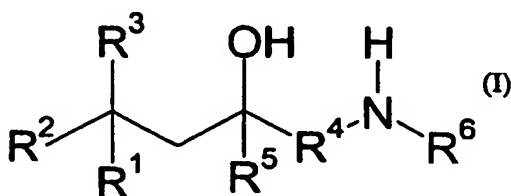
(72) Inventors: **BETAGERI, Raj**; Boehringer Ingelheim
Corp., 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT
06877-0368 (US). **THOMSON, David**; Boehringer Ingel-
heim Corp., 900 Ridgebury Road, P.O. Box 368, Ridge-
field, CT 06877-0368 (US). **ZHANG, Yan**; Boehringer
Ingelheim Corp., 900 Ridgebury Road, P.O. Box 368,
Ridgefield, CT 06877-0368 (US). **ZINDELL, Renee,
Michele**; Boehringer Ingelheim Corp., 900 Ridgebury
Road, P.O. Box 368, Ridgefield, CT 06877-0368 (US).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **GLUCOCORTICOID MIMETICS, METHODS OF MAKING THEM, PHARMACEUTICAL FORMULATIONS
CONTAINING THEM AND USES THEREOF**



(57) Abstract: A compound of Formula (I), wherein R¹, R², R³, R⁴, R⁵, and R⁶ are as defined herein, or a tautomer, prodrug, solvate, or salt thereof; pharmaceutical compositions containing such compounds, and methods of modulating the glucocorticoid receptor function and methods of treating disease-states or conditions mediated by the glucocorticoid receptor function or characterized by inflammatory, allergic, or proliferative processes in a patient using these compounds.

WO 03/059899 A1